

PATENT COOPERATION TREATY

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INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

CORRECTED
VERSION

See Form PCT/PEA/416

Applicant's or agent's file reference 729/2-PCT	FOR FURTHER ACTION	
International application No. PCT/HU2004/000082	International filing date (day/month/year) 29.07.2004	Priority date (day/month/year) 04.08.2003
International Patent Classification (IPC) or national classification and IPC C07D243/02		
Applicant EGIS GYOGYSZERGY R RT		
<p>1. This report is the International preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of 7 sheets, including this cover sheet.</p> <p>3. This report is also accompanied by ANNEXES, comprising:</p> <p>a. <input type="checkbox"/> sent to the applicant and to the International Bureau a total of sheets, as follows:</p> <p><input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).</p> <p><input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.</p> <p>b. <input type="checkbox"/> (sent to the International Bureau only) a total of (Indicate type and number of electronic carrier(s)) , containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).</p>		
<p>4. This report contains indications relating to the following items:</p> <p><input checked="" type="checkbox"/> Box No. I Basis of the opinion</p> <p><input type="checkbox"/> Box No. II Priority</p> <p><input checked="" type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p><input type="checkbox"/> Box No. IV Lack of unity of invention</p> <p><input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p><input type="checkbox"/> Box No. VI Certain documents cited</p> <p><input type="checkbox"/> Box No. VII Certain defects in the international application</p> <p><input type="checkbox"/> Box No. VIII Certain observations on the international application</p>		
Date of submission of the demand 11.02.2005	Date of completion of this report 15.11.2005	
Name and mailing address of the international preliminary examining authority:  European Patent Office - Gitschiner Str. 103 D-10958 Berlin Tel. +49 30 25901 - 0 Fax: +49 30 25901 - 840	Authorized Officer Hoepfner, W Telephone No. +49 30 25901-337	

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**INTERNATIONAL PRELIMINARY REPORT
ON PATENTABILITY**

International application No.
PCT/HU2004/000082

Box No. I Basis of the report

1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.
- ☐ This report is based on translations from the original language into the following language , which is the language of a translation furnished for the purposes of:
- ☐ international search (under Rules 12.3 and 23.1(b))
 - ☐ publication of the international application (under Rule 12.4)
 - ☐ international preliminary examination (under Rules 55.2 and/or 55.3)
2. With regard to the **elements*** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

Description, Pages

1-36 as originally filed

Claims, Numbers

1-14 as originally filed

- ☐ a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing
3. ☐ The amendments have resulted in the cancellation of:
- ☐ the description, pages
 - ☐ the claims, Nos.
 - ☐ the drawings, sheets/figs
 - ☐ the sequence listing *(specify)*:
 - ☐ any table(s) related to sequence listing *(specify)*:
4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
- ☐ the description, pages
 - ☐ the claims, Nos.
 - ☐ the drawings, sheets/figs
 - ☐ the sequence listing *(specify)*:
 - ☐ any table(s) related to sequence listing *(specify)*:

* If item 4 applies, some or all of these sheets may be marked "superseded."

**INTERNATIONAL PRELIMINARY REPORT
ON PATENTABILITY**

International application No.
PCT/HU2004/000082

Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

- ☐ the entire international application,
☒ claims Nos. 10,11 (with respect to industrial applicability), 13 (partially; with respect to novelty and inventive step)

because:

- ☒ the said international application, or the said claims Nos. 10,11 relate to the following subject matter which does not require an international preliminary examination (specify):

see separate sheet

- ☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):
☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.
☒ no international search report has been established for the said claims Nos. 13 (partially searched)
☐ the nucleotide and/or amino acid sequence listing does not comply with the standard provided for in Annex C of the Administrative Instructions in that:

the written form

- ☐ has not been furnished
☐ does not comply with the standard

the computer readable form

- ☐ has not been furnished
☐ does not comply with the standard

- ☐ the tables related to the nucleotide and/or amino acid sequence listing, if in computer readable form only, do not comply with the technical requirements provided for in Annex C-*bis* of the Administrative Instructions.
☐ See separate sheet for further details

**INTERNATIONAL PRELIMINARY REPORT
ON PATENTABILITY**

International application No.
PCT/HU2004/000082

Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes: Claims	1-12, 13 (partially searched), 14
	No: Claims	
Inventive step (IS)	Yes: Claims	1-12, 13 (partially searched), 14
	No: Claims	
Industrial applicability (IA)	Yes: Claims	1-9, 12-14
	No: Claims	

2. Citations and explanations (Rule 70.7):

see separate sheet

Re Item III

Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

Claims 10 and 11 relate to subject-matter considered by this Authority to be covered by the provisions of Rule 67.1(iv) PCT. Consequently, the International Examination Authority fully concurs with the objection put forward by the International Search Authority and no opinion will be formulated with respect to the industrial applicability of the subject-matter of these claims (Article 34(4)(a)(I) PCT).

With the vague and unclear definition of group "Y", present claim 13 relates to an extremely large number of possible compounds.

Support within the meaning of Article 6 PCT and/or disclosure within the meaning of Article 5 PCT is to be found, however, for only a very small proportion of the compounds claimed. In the present case, the claim so lacks support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope was deemed impossible by the International Search Authority.

Consequently, the International Examination Authority fully concurs with the objection put forward by the International Search Authority and no opinion will be formulated with respect to novelty and inventive step for any subject-matter of the said claim 13 which goes beyond what has been searched by the International Search Authority.

Re Item V

Reasoned statement with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

D1: WO 97/28135 A (SCHERING AG ; BERZSENYI PAL (HU); LING ISTVAN (HU); SIMAY ANTAL (HU);) 7 August 1997 (1997-08-07)

Novelty

Document D1 discloses derivatives of 8-alkoxy-2,3-benzodiazepine, methods for their preparation, intermediates and their use in the preparation of medicaments for the treatment of neurodegenerative diseases or conditions (see page 1, lines 1, 2; page 4, paragraph 3; Examples 4, 5).

Although the compounds of D1 come structurally very close to the compounds of present

claim 1, they do not address derivatives of 8-chloro-2,3-benzodiazepine.

Consequently, the subject-matter of present independent claims 1 and 7-14 and present dependent claims 2-6 has to be regarded as novel in view of D1.

Inventive step

The distinguishing feature between the novel subject-matter and D1 is the presence of Cl at position 8.

In the absence of any evidence for an unexpected technical effect linked to this feature, the objective problem underlying the said subject-matter can merely be seen as the provision of further compounds suitable for the preparation of medicaments for the treatment of neurodegenerative diseases or conditions, methods for their preparation and intermediates.

The claimed solution to this very general problem was the modification of the compounds of D1 by replacing 8-alkoxy with 8-chloro.

However, since this solution was neither anticipated nor suggested in the prior art, the presence of inventive activity has to be acknowledged for the novel subject-matter, even in the absence of a technical effect.

Industrial applicability

There is no doubt that the subject-matter of the present claims 1-9 and 12-14 is industrially applicable.

However, for the assessment of the present claims 10 and 11 on the question whether they are industrially applicable, no unified criteria exist in the PCT Contracting States. The patentability can also be dependent upon the formulation of the claims. The EPO, for example, does not recognize as industrially applicable the subject-matter of claims to the use of a compound in medical treatment, but may allow, however, claims to a known compound for first use in medical treatment and the use of such a compound for the manufacture of a medicament for a new medical treatment.

Formal matters

The round bracket at the end of the penultimate line of claim 1 appears to be redundant.

The following terms lack clarity: "lower" alkyl, "lower" cycloalkyl (claims 1, 7); "inert solid",

**INTERNATIONAL PRELIMINARY
REPORT ON PATENTABILITY
(SEPARATE SHEET)**

International application No.

PCT/HU2004/000082

"liquid carriers", "auxiliary agents", "further pharmaceutical agents" (claims 8, 9); "galenic form" claim (9).

In claim 2, it should presumably have read "C₁₋₄ alkyl".